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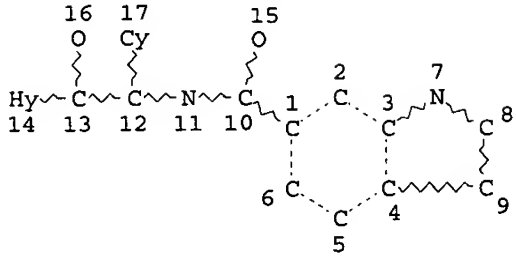

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FULL SCREEN SEARCH COMPLETED - 5823 TO ITERATE

100.0% PROCESSED 5823 ITERATIONS 164 ANSWERS
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COST IN U.S. DOLLARS

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FILE 'CAPLUS' ENTERED AT 17:28:10 ON 28 JAN 2003
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FILE COVERS 1907 - 28 Jan 2003 VOL 138 ISS 5
FILE LAST UPDATED: 27 Jan 2003 (20030127/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4            6 L3

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L4   ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2003 ACS
AN   2002:964343  CAPLUS
DN   138:29109
TI   Preparation of crystal forms of antithrombotic piperazine derivative
IN   Engel, Gary Lowell; Diseroad, Benjamin Alan
PA   Eli Lilly and Company, USA
SO   PCT Int. Appl., 19 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 13
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PRAI WO 2001-GB2553     W     20010612
     US 2001-339295P    P     20011212
     WO 2000-GB2302     W     20000613
     GB 2000-30304      A     20001213

L4   ANSWER 2 OF 6  CAPLUS  COPYRIGHT 2003 ACS
AN   2001:923784  CAPLUS
DN   136:54020
TI   Preparation of amino acid derivatives as serine protease inhibitors
IN   Liebeschuetz, John Walter; Murray, Christopher William; Young, Stephen
     Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Wylie, William
     Alexander; Masters, John Joseph; Wiley, Michael Robert; Sheehan, Scott
     Martin; Engel, David Birenbaum; Watson, Brian Morgan; Guzzo, Peter Robert;
     Mayer, Michael John
PA   Eli Lilly and Company, USA
SO   PCT Int. Appl., 191 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 13
     PATENT NO.         KIND  DATE           APPLICATION NO.  DATE
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PRAI WO 2000-GB2302    W    20000613
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     GB 1999-13823     A    19990614
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     GB 1999-29553     A    19991214
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     US 2001-339295P   P    20011212
OS   MARPAT 136:54020
RE.CNT  3      THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4   ANSWER 3 OF 6  CAPLUS  COPYRIGHT 2003 ACS
AN   2001:923766  CAPLUS
DN   136:54019
TI   Preparation of amino acid derivatives as serine protease inhibitors
IN   Liebeschuetz, John Walter; Murray, Christopher William; Young, Stephen
     Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Wylie, William
     Alexander; Masters, John Joseph; Wiley, Michael Robert; Sheehan, Scott
     Martin; Engel, David Birenbaum; Watson, Brian Morgan
PA   Eli Lilly and Company, USA
SO   PCT Int. Appl., 120 pp.
     CODEN: PIXXD2
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LA   English
FAN.CNT 13
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    WO 2000076971     A2   20001221      WO 2000-GB2302   20000613
    WO 2000076971     A3   20010802
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    US 2002151724     A1   20021017      US 2002-30186    20020204
PRAI WO 2000-GB2302   W    20000613
    GB 2000-30306     A    20001213
    GB 1999-13823     A    19990614
    US 1999-142064P   P    19990702
    GB 1999-18741     A    19990809
    GB 1999-29553     A    19991214
    WO 2001-GB2572    W    20010612
OS  MARPAT 136:54019
RE.CNT  4     THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4  ANSWER 4 OF 6  CAPLUS  COPYRIGHT 2003 ACS
AN  2001:923758  CAPLUS
DN  136:37946
TI  Preparation of amino acid derivatives as serine protease inhibitors
IN  Liebeschuetz, John Walter; Murray, Christopher William; Young, Stephen
    Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Wylie, William
    Alexander; Masters, John Joseph; Wiley, Michael Robert; Sheehan, Scott
    Martin; Engel, David Birenbaum; Watson, Brian Morgan
PA  Eli Lilly and Company, USA
SO  PCT Int. Appl., 142 pp.
    CODEN: PIXXD2
DT  Patent
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PRAI WO 2000-GB2302    W    20000613
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OS   MARPAT 136:37946
RE.CNT  3     THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4   ANSWER 5 OF 6  CAPLUS  COPYRIGHT 2003 ACS
AN   2000:900614  CAPLUS
DN   134:56958
TI   Preparation of amino acid derivatives as serine protease inhibitors
IN   Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher
     William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas
     Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James;
     Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert
PA   Eli Lilly and Company, USA; Protherics Molecular Design Limited
SO   PCT Int. Appl., 261 pp.
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DT   Patent
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US 2002151724 A1 20021017 US 2002-30186 20020204

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US 1999-142064P P 19990702
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WO 2000-GB2302 W 20000613
GB 2000-30303 A 20001213
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GB 2000-30305 A 20001213
GB 2000-30306 A 20001213
WO 2001-GB2572 W 20010612

OS MARPAT 134:56958

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS
AN 2000:900613 CAPLUS
DN 134:56957
TI Preparation of amino acid derivatives as serine protease inhibitors
IN Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Masters, John Joseph; Wiley, Michael John
PA Eli Lilly and Company, USA; Protherics Molecular Design Limited
SO PCT Int. Appl., 350 pp.
CODEN: PIXXD2
DT Patent
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FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000076970	A2	20001221	WO 2000-GB2296	20000613
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GB 1999-18741 A 19990809
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WO 2000-GB2296 W 20000613
OS MARPAT 134:56957

(FILE 'HOME' ENTERED AT 17:12:49 ON 28 JAN 2003)

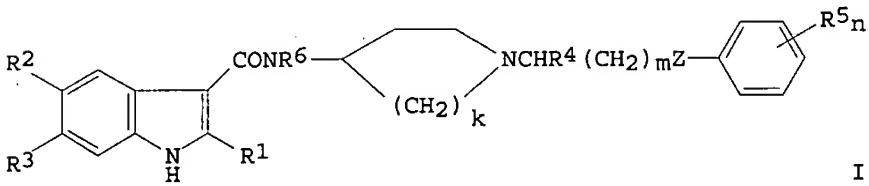
FILE 'CAPLUS' ENTERED AT 17:12:57 ON 28 JAN 2003

L1 1 S (SERINE(L)PROTEASE)(L)(INDOL?(L)PIPERIDIN?)
L2 0 S RDG(L)(INDOL?(L)PIPERIDIN?)
L3 39 S (?COAGULA? OR ?THROMBO? OR AGGREGA?)(L)(INDOL?(L)PIPERIDIN?)
L4 29 S L3 AND PY<2000
L5 14 S L4 AND P/DT
L6 15 S L4 NOT L5

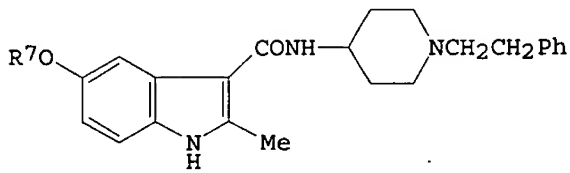
AN 1986:5787 CAPLUS
DN 104:5787
TI 3-Indolecarboxamide compounds
IN Tahara, Tetsuya; Ikebe, Tsuguo; Maruyama, Yutaka; Yaoka, Osamu; Miura, Yohji
PA Yoshitomi Pharmaceutical Industries, Ltd. , Japan
SO Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DT **Patent**
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	EP 150505	A2	19850807	EP 1984-116372	19841227	<--
	EP 150505	A3	19850821			
	EP 150505	B1	19870401			
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE					
	JP 60142981	A2	19850729	JP 1983-251149	19831228	<--
	JP 64000396	B4	19890106			
	US 4581355	A	19860408	US 1984-680727	19841212	<--
	CA 1230600	A1	19871222	CA 1984-470179	19841214	<--
	ES 539123	A1	19860316	ES 1984-539123	19841227	<--
	AT 26273	E	19870415	AT 1984-116372	19841227	<--
PRAI	JP 1983-251149		19831228			
	EP 1984-116372		19841227			

OS CASREACT 104:5787
GI



I



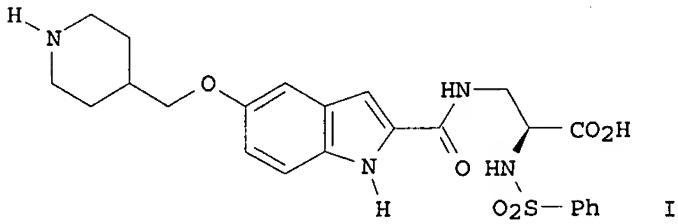
II

AB N-Heterocyclylindolecarboxamides I (R1,R4,R6 = H, alkyl; R2,R3 = H, alkyl, alkoxy, alkanoyloxy, OH, halo; R5 = H, halo, Z = O, S, bond; n = 1,2; m, k = 1-3) were prepd. Thus, 5-acetoxy-2-methylindole-3-carboxylic acid was converted to its acid chloride and treated with 4-amino-1-phenethylpiperidine to give carboxamide II (R7 = Ac). This was sapond. to give II (R7 = OH) (III). III inhibits 5-lipoxygenase with an IC50 of 0.44 .mu.M and I are more effective cardiotonics than ouabain.

AN 1998:534886 CAPLUS
DN 129:148913
TI Preparation of 5-[(4-piperidinyl)methoxy]-2-indolecarbonyl-2(S)-phenylsulfonylamino-.beta.-alanine as a fibrinogen receptor antagonist
IN Hutchinson, John H.; Halczenko, Wasyl
PA Merck and Co., Inc., USA
SO U.S., 10 pp.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5789421	A	19980804	US 1996-735844	19961023 <--
PRAI US 1996-735844		19961023		

GI



I

AB The title compd. 5-[(4-**piperidinyl**)methoxy]-2-**indolecarbonyl**-2(S)-phenylsulfonylamino-.beta.-alanine (I) was prepd. and formulated. The compd. is useful in inhibiting the binding of fibrinogen to blood platelets, inhibiting the **aggregation** of blood platelets, or treating or preventing thrombus or embolus formation. Thus, 5-hydroxyindole-2-carboxylic acid was converted to the Me ester, which underwent Mitsunobu etherification with N-Boc-4-**piperidinylmethanol** (DEAD, PPh3, in THF), followed by partial hydrolysis using LiOH.H2O, to give 5-[(4-N-Boc-**piperidinyl**)methoxy]-2-**indolecarboxylic** acid. This was condensed with Et 2(S)-[(phenylsulfonyl)amino]-3-aminopropionate.HCl in the presence of HOBT, NMM, and EDC in DMF, followed by deesterification and removal of the BOC group, to give I. In a platelet **aggregation** test in monkeys, I was nearly twice as potent as the analog with a **piperidinylethoxy** group instead of a **piperidinylmethoxy** group.
RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2003 ACS
AN 1997:389217 CAPLUS
DN 127:5015
TI Preparation of 5-[(4-piperidinyl)methoxy]-2-indolecarbonyl-2(S)-phenylsulfonylamino-.beta.-alanine as fibrinogen receptor antagonist
IN Hutchinson, John H.; Halczenko, Wasyl
PA Merck and Co., Inc., USA; Hutchinson, John H.; Halczenko, Wasyl
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 9715568 A1 19970501 WO 1996-US16882 19961022 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
CA 2233861 AA 19970501 CA 1996-2233861 19961022 <--
AU 9674640 A1 19970515 AU 1996-74640 19961022 <--
AU 702025 B2 19990211
EP 863893 A1 19980916 EP 1996-936810 19961022 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
JP 11513980 T2 19991130 JP 1996-516710 19961022 <--
ZA 9608939 A 19970429 ZA 1996-8939 19961024 <--
PRAI US 1995-5890P P 19951026
GB 1996-3245 A 19960216
WO 1996-US16882 W 19961022
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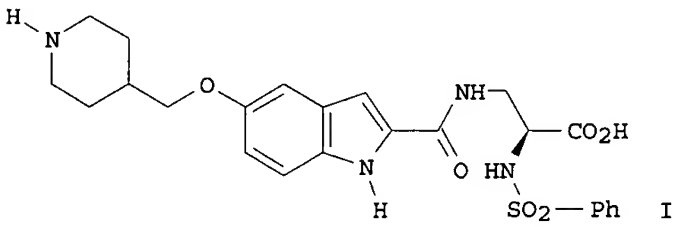

I

AB 5-[(4-**Piperidinyl**)methoxy]-2-**indolecarbonyl**-2(S)-phenylsulfonylamino-.beta.-alanine (I), useful in inhibiting the binding of fibrinogen to blood platelets, inhibiting the **aggregation** of blood platelets, treating thrombus formation or embolus formation, or preventing thrombus or embolus formation in a mammal, was prepd. and formulated. Thus, esterification of 5-hydroxyindole-2-carboxylic acid followed by reaction of the resulting Me ester with N-Boc-4-**piperidinylmethanol** in the presence of DEAD and PPh3 in THF, hydrolysis of the intermediate with LiOH.H2O, reaction of 5-[(4-N-Boc-**piperidinyl**)methoxy]-2-**indolecarboxylic** acid with Et 2(S)-phenylsulfonylamino-3-aminopropionate.HCl in the presence of HOBT, NMM and EDC in DMF, deesterification and removal of the BOC group afforded I which is effective at 0.005-10 mg/kg/day.